

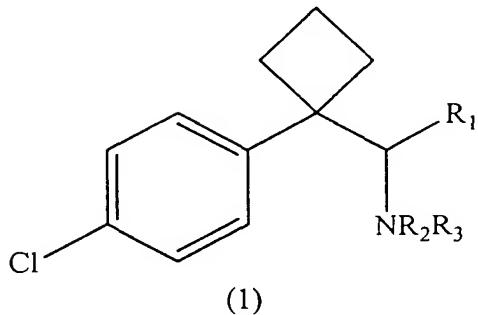
CLAIMS

What is claimed is:

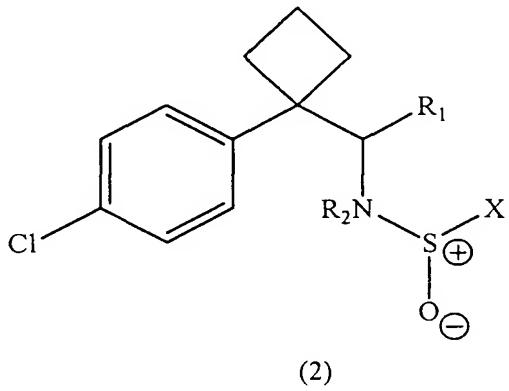
1. A method of preparing a compound of Formula 1:

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or a pharmaceutically acceptable salt, solvate, clathrate, hydrate, or prodrug thereof, wherein R₁ is substituted or unsubstituted alkyl, substituted or unsubstituted aralkyl, substituted or 15 unsubstituted heteroalkyl, substituted or unsubstituted aryl, substituted or unsubstituted heterocycle; and R₂ and R₃ together form a cyclic structure or each of R₂ and R₃ is independently substituted or unsubstituted alkyl, substituted or unsubstituted aralkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted aryl, substituted or unsubstituted heterocycle, which comprises contacting a compound of Formula 2:



20 wherein X is independently a polymer bound alkyl, aryl or heteroalkyl; substituted or unsubstituted alkyl; substituted or unsubstituted aralkyl; substituted or unsubstituted heteroalkyl; substituted or unsubstituted aryl; substituted or unsubstituted ether; substituted or unsubstituted ester; substituted or unsubstituted ketone; substituted or unsubstituted phosphonate; substituted or unsubstituted phosphonic acid ester; substituted or unsubstituted phosphinoyl; substituted or unsubstituted sulfide; substituted or unsubstituted sulfone; 25 substituted or unsubstituted sulfinyl imine; substituted or unsubstituted heterocycle; or -NR₄R₅, wherein R₄ and R₅ together with the nitrogen atom to which they are attached form

a heterocycle or each of R₄ and R₅ is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted aralkyl, substituted or unsubstituted aryl, substituted or unsubstituted ether, substituted or unsubstituted sulfide, or substituted or unsubstituted heterocycle; with a reagent capable of 5 cleaving a nitrogen-sulfur bond under conditions suitable for the formation of the compound of Formula 1.

2. The method of claim 1 wherein the compounds of formulas 1 and 2 are stereomerically pure.

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3. The method of claim 1 wherein the compound of Formula 1 is provided as a pharmaceutically acceptable salt.

4. The method of claim 3 wherein the compound of Formula 1 is provided as an 15 acetic, benzenesulfonic, benzoic, camphorsulfonic, citric, ethenesulfonic, fumaric, gluconic, glutamic, hydrobromic, hydrochloric, isethionic, lactic, maleic, malic, mandelic, methanesulfonic, mucic, nitric, pamoic, pantothenic, phosphoric, succinic, sulfuric, tartaric, or p-toluenesulfonic salt.

20 5. The method of claim 1 wherein R₁ is lower alkyl, optionally substituted with one or more hydroxyl groups.

6. The method of claim 5 wherein R₁ is -CH₂CH(CH₃)(CH₂OR₄), -CH(OCH₂OCH₃)CH(CH₃)₂, -CH₂CH(CH₃)₂, -CH₂C(CH₃)₂OR₄, or 25 -CH₂C(OR₄)(CH₂OR₄)CH₃, wherein R₄ is alkyl, heteroalkyl, heteroaryl, aryl, hydrogen, acyl, carbonate, carbamate, ester, or urea.

7. The method of claim 1 wherein R₂ is not the same as R₃.

30 8. The method of claim 1 wherein R₂ and R₃ are both hydrogen.

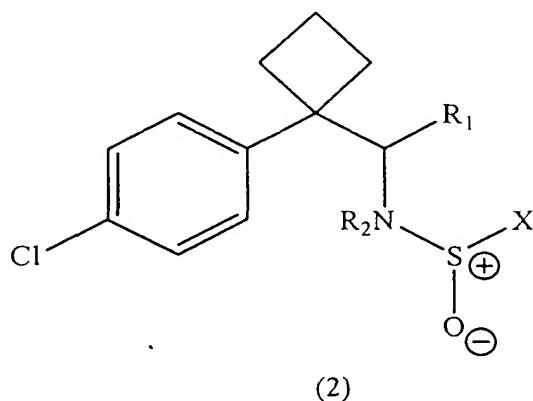
9. The method of claim 1 wherein X is substituted or unsubstituted aralkyl, substituted or unsubstituted heterocycle, substituted or unsubstituted heteroalkyl, or substituted or unsubstituted heteroaryl.

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10. The method of claim 1 wherein X is alkyl.

11. The method of claim 1 wherein X is aryl.

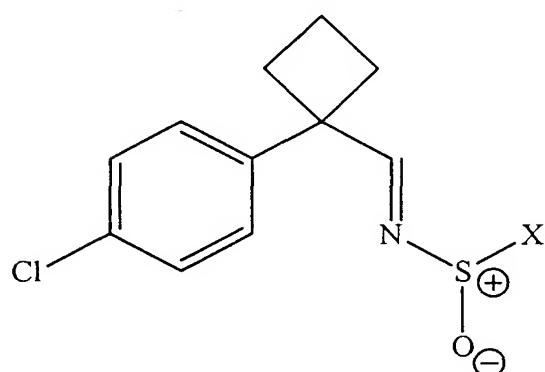
12. A method of preparing a compound of Formula 2:



which comprises contacting a compound of Formula 3:

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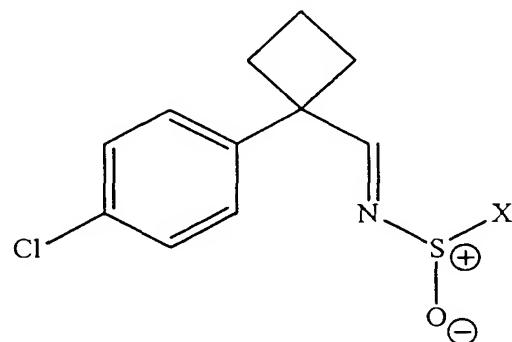
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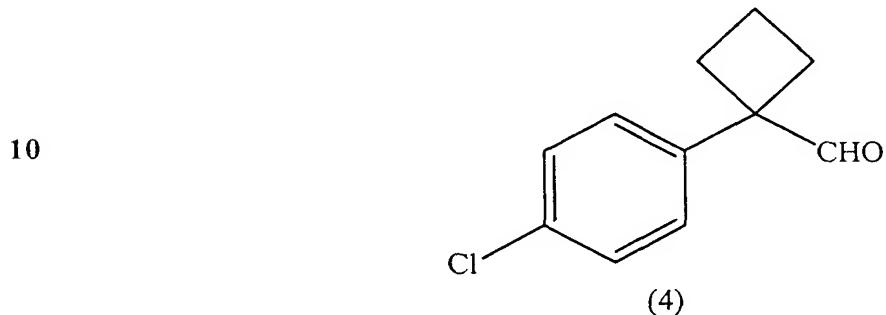
- 15 with a Lewis acid or a base and a compound of the formula R₁M, wherein X is independently a polymer bound alkyl, aryl or heteroalkyl; substituted or unsubstituted alkyl; substituted or unsubstituted aralkyl; substituted or unsubstituted heteroalkyl; substituted or unsubstituted aryl; substituted or unsubstituted ether; substituted or unsubstituted ester; substituted or unsubstituted ketone; substituted or unsubstituted phosphonate; substituted or 20 unsubstituted phosphonic acid ester; substituted or unsubstituted phosphinoyl; substituted or unsubstituted sulfide; substituted or unsubstituted sulfone; substituted or unsubstituted sulfinyl imine; substituted or unsubstituted heterocycle; or -NR₄R₅, wherein R₄ and R₅ together with the nitrogen atom to which they are attached form a heterocycle or each of R₄ and R₅ is independently hydrogen, substituted or unsubstituted alkyl, substituted or 25 unsubstituted heteroalkyl, substituted or unsubstituted aralkyl, substituted or unsubstituted aryl, substituted or unsubstituted ether, substituted or unsubstituted sulfide, or substituted or

unsubstituted heterocycle; and M is CdZ, BaZ, Na, K, MgZ, ZnZ, Li, MnZ, CuZ, TiZ₃, or In, and Z is Cl, Br, I, aryl, aralkyl, alkoxy, or heterocycle under conditions suitable for the formation of the compound of Formula 2.

5 13. A method of preparing a compound of Formula 3:



which comprises contacting a compound of Formula 4:



15 with a compound of Formula 5:



wherein X is independently a polymer bound alkyl, aryl or heteroalkyl; substituted or unsubstituted alkyl; substituted or unsubstituted aralkyl; substituted or unsubstituted heteroalkyl; substituted or unsubstituted aryl; substituted or unsubstituted ether; substituted or unsubstituted ester; substituted or unsubstituted ketone; substituted or unsubstituted phosphonate; substituted or unsubstituted phosphonic acid ester; substituted or unsubstituted phosphinoyl; substituted or unsubstituted sulfide; substituted or unsubstituted sulfone; substituted or unsubstituted sulfinyl imine; substituted or unsubstituted heterocycle; or

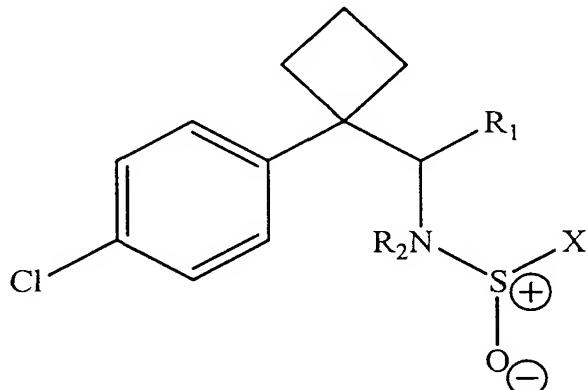
-NR₄R₅, wherein R₄ and R₅ together with the nitrogen atom to which they are attached form a heterocycle or each of R₄ and R₅ is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted aralkyl, substituted or unsubstituted aryl, substituted or unsubstituted ether, substituted or 5 unsubstituted sulfide, or substituted or unsubstituted heterocycle; under conditions suitable for the formation of the compound of Formula 3.

14. The method of claim 13 wherein the compound of Formula 5 is stereomerically pure.

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15. The method of claim 13 wherein the compound of Formula 5 is (R)-*tert*-butylsulfonamide, (S)-*tert*-butylsulfonamide, (R)-triethylmethylsulfonamide, or (S)-triethylmethylsulfonamide.

15 16. A compound of Formula 2:



or a salt, solvate, clathrate, hydrate, or prodrug thereof, wherein each of X is independently a polymer bound alkyl, aryl or heteroalkyl; substituted or unsubstituted alkyl; substituted or unsubstituted aralkyl; substituted or unsubstituted heteroalkyl; substituted or unsubstituted aryl; substituted or unsubstituted ether; substituted or unsubstituted ester; substituted or 20 unsubstituted ketone; substituted or unsubstituted phosphonate; substituted or unsubstituted phosphonic acid ester; substituted or unsubstituted phosphinoyl; substituted or unsubstituted sulfide; substituted or unsubstituted sulfone; substituted or unsubstituted sulfinyl imine; substituted or unsubstituted heterocycle; or -NR₄R₅, wherein R₄ and R₅ together with the nitrogen atom to which they are attached form a heterocycle or each of R₄ and R₅ is 25 independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted heteroalkyl, substituted or unsubstituted aralkyl, substituted or unsubstituted aryl, substituted

or unsubstituted ether, substituted or unsubstituted sulfide, or substituted or unsubstituted heterocycle; and R₁ is independently substituted or unsubstituted alkyl; substituted or unsubstituted aralkyl; substituted or unsubstituted heteroalkyl; substituted or unsubstituted aryl; and R₂ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aralkyl, or substituted or unsubstituted aryl.

17. The compound of claim 16 wherein R₁ is lower alkyl, optionally substituted with one or more hydroxyl groups.

10 18. The compound of claim 17 wherein R₁ is -CH₂CH(CH₃)(CH₂OR₄), -CH(OCH₂OCH₃)CH(CH₃)₂, -CH₂CH(CH₃)₂, -CH₂C(CH₃)₂OR₄, or -CH₂C(OR₄)(CH₂OR₄)CH₃, wherein R₄ is alkyl, aryl, H, acyl, carbonates, carbamates, and ureas.

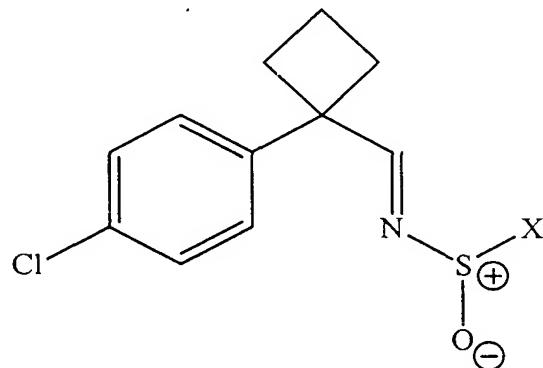
15 19. The compound of claim 16 wherein X is alkyl.

20. The compound of claim 16 wherein X is substituted or unsubstituted aryl.

21. A compound of Formula 3:

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(3)

30 or a salt, solvate, clathrate, hydrate, or prodrug thereof, wherein X is substituted or unsubstituted alkyl, substituted or unsubstituted aralkyl, or substituted or unsubstituted aryl.

22. The compound of claim 21 wherein X is alkyl.

35 23. The compound of claim 21 wherein X is substituted or unsubstituted aryl.

24. The compound of claim 16 or 21 wherein said compound is stereomerically pure.

25. The method of claim 2 or 13 wherein the desired stereoisomer is greater than 5 about 90 percent pure.